ABSTRACT

Library comprising a plurality of tagged non-peptide ligands of formula I

$$(Lig\ J_L)_m\ L\ (J_T\ Tag)_m\ (J_T\ L\ (J_L\ Lig)_m)_p$$

including and salts thereof

comprising one or a plurality of same or different ligand moieties Lig each linked to a one or a plurality of same or different tag moieties Tag via same or different linker moieties L and same or different linking site or linking functionality J_T and J_L

wherein Lig comprises a GPCR ligand, an inhibitor of an intracellular enzyme or a substrate or inhibitor of a drug transporter;

L is a single bond or is any linking moiety selected from a heteroatom such as N, O, S, P, branched or straight chain saturated or unsaturated, optionally heteroatom containing, $C_{1\text{-}600}$ hydrocarbyl and combinations thereof, which may be monomeric, oligomeric having oligomeric repeat of 2 to 30 or polymeric having polymeric repeat in excess of 30 up to 300;

Tag is any known or novel tagging substrate;

m are each independently selected from a whole number integer from 1 to 3;

p is 0 to 3

characterised in that linking is at same or different linking sites in compounds comprising different Lig, J_L, L J_T and/or – Tag and is at different linking sites in compounds comprising same Lig, J_L, L J_T and/or – Tag; process for the preparation thereof; process for the preparation of a library compound of formula I or a precursor of formula IV; method for selecting a compound of formula I from a library thereof; compound of formula I associated with information relating to its pharmacological properties; a novel compound of formula I or precursor of formula IV; uses thereof; methods for binding or inhibition therewith; use of a fluorescent target therewith; a

modified cell surface GPCR and cells expressing the same; and a kit comprising a compound of formula I and a target therefor.